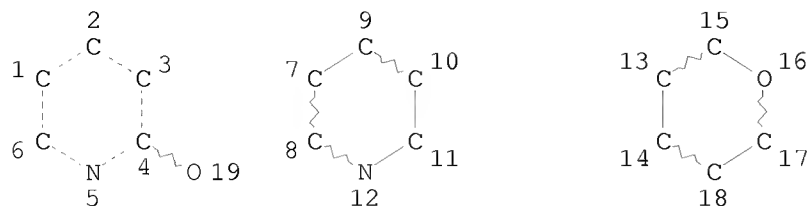


=> d 16
 L6 HAS NO ANSWERS
 L6 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 14 11 4
 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> s 16 ful
 FULL SEARCH INITIATED 11:39:28 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 16575 TO ITERATE

100.0% PROCESSED 16575 ITERATIONS 172 ANSWERS
 SEARCH TIME: 00.00.01

L8 172 SEA SSS FUL L6

=> fil caplus
 COST IN U.S. DOLLARS
 FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
	374.64	374.86

FILE 'CAPLUS' ENTERED AT 11:39:32 ON 10 NOV 2009
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FILE COVERS 1907 - 10 Nov 2009 VOL 151 ISS 20
 FILE LAST UPDATED: 9 Nov 2009 (20091109/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l8

L9 31 L8

=> s l9 and (5ht? or (5 (w)ht?))

9587 5HT?

7164986 5

141753 HT?

49829 5 (W)HT?

L10 3 L9 AND (5HT? OR (5 (W)HT?))

=> d bib abs hitstr 1-3

L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:675411 CAPLUS

DN 147:87697

TI Piperidine derivatives for the treatment of central nervous system and other disorders

IN Bruendl, Michelle M.; Greene, Keri L.; Jennings, Rex Allen; Lazerwith, Scott E.; Nahra, Joe; O'Brien, Patrick Michael; Para, Kimberly Suzanne; Sheehan, Susan M.

PA Pfizer Inc., USA

SO U.S. Pat. Appl. Publ., 25pp.

CODEN: USXXCO

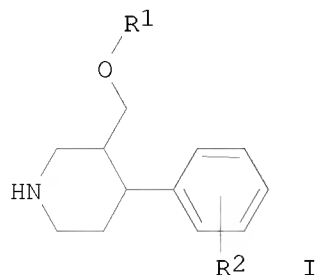
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070142389	A1	20070621	US 2006-610696	20061214
	NL 2000376	A1	20070621	NL 2006-2000376	20061215
	NL 2000376	C2	20071024		
	CA 2634172	A1	20070628	CA 2006-2634172	20061216
	WO 2007072150	A2	20070628	WO 2006-IB3639	20061216
	WO 2007072150	A3	20080529		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	EP 1966137	A2	20080910	EP 2006-831727	20061216
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, RS
 JP 2009520018 T 20090521 JP 2008-546664 20061216
 NL 2000937 A1 20080111 NL 2007-2000937 20071015
 NL 2000937 C2 20080722
 PRAI US 2005-751845P P 20051220
 WO 2006-IB3639 W 20061216
 OS MARPAT 147:87697
 GI



AB The present invention provides compds. of Formula (I; R1 = substituted Ph, thienopyridinyl, 5- or 6-membered heteroaryl; R2 = H, C1-4 alkyl, C1-4 alkoxy, halo) and pharmaceutically acceptable salts thereof, pharmaceutical compns. comprising these compds., methods of treating central nervous system disorders, and therapeutic combinations comprising the same. The compds. of this invention can be used to treat norepinephrine or serotonin-mediated disorders, including central nervous system disorders, such as fibromyalgia, attention deficit hyperactivity disorder, generalized anxiety, depression and schizophrenia. Thus, (3S,4R)-3-(2-fluoro-6-methoxyphenoxy-methyl)-4-phenylpiperidine fumarate was prepared and tested for inhibition of norepinephrine and serotonin receptor binding in human cells. It showed the inhibition of norepinephrine and serotonin receptor binding with Ki of 3.88 and 2.67 nM, resp.

IT 941700-18-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidine derivs. for treatment of norepinephrine or serotonin-mediated disorders, including CNS disorders)

RN 941700-18-1 CAPLUS

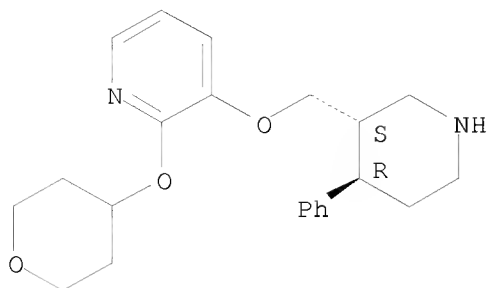
CN Pyridine, 3-[[[(3S,4R)-4-phenyl-3-piperidinyl]methoxy]-2-[(tetrahydro-2H-pyran-4-yl)oxy]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 941700-17-0

CMF C22 H28 N2 O3

Absolute stereochemistry.

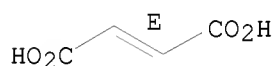


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:11886 CAPLUS

DN 146:121827

TI Piperidine derivatives useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Aslanian, Robert G.; Berlin, Michael Y.; Boyce, Christopher W.; Chao, Jianhua; De Lera Ruiz, Manuel; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.; Shih, Neng-Yang; Solomon, Daniel M.; Tom, Wing C.; Vaccaro, Henry A.; Zheng, Junying; Zhu, Xiaohong

PA Schering Corporation, USA

SO PCT Int. Appl., 119pp.

CODEN: PIXXD2

DT Patent

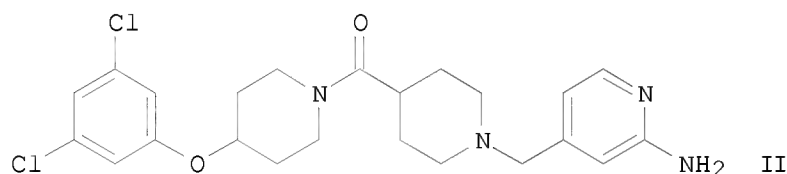
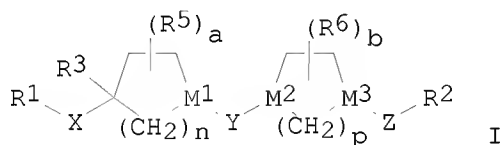
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007001975	A1	20070104	WO 2006-US23800	20060619
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006262441	A1	20070104	AU 2006-262441	20060619
	CA 2610959	A1	20070104	CA 2006-2610959	20060619
	US 20070015807	A1	20070118	US 2006-455625	20060619
	EP 1902046	A1	20080326	EP 2006-773528	20060619
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

JP 2008546784	T	20081225	JP 2008-518276	20060619
ZA 2007010968	A	20090325	ZA 2007-10968	20071218
MX 2008000115	A	20080318	MX 2008-115	20071219
KR 2008021082	A	20080306	KR 2007-730855	20071228
CN 101243072	A	20080813	CN 2006-80030117	20080218
US 2005-692110P	P	20050620		
WO 2006-US23800	W	20060619		

GI



AB Disclosed are novel compds. of the formula I or a pharmaceutically acceptable salt thereof; compns. and methods of treating allergy-induced airway responses, congestions, obesity, metabolic syndrome, alc. fatty liver disease, hepatic steatosis, nonalcoholic steatohepatitis, cirrhosis, hepatocellular carcinoma and cognitive deficit disorders, using said compds., alone or in combination with other agents. Compds. of formula I wherein M1 and M3 are independently CH and N; M2 is CH, CF and N; Y is CO, CS, C1-5 alkyl, C-NOH and derivs., and SO1-2; X is NH and derivs., aminoalkyl, alkylamino, , C0-3 alkyl, etc.; Z is bond, (un)substituted C1-6 alkyl, (un)substituted alkoxy, (un)substituted alkylamino, etc.; R1 is H, (un)substituted alkyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl, and (un)substituted (hetero)cycloalkyl; R3 is H, alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl, and CONH2; R5 and R6 are independently halo, alkyl, OH, alkoxy, haloalkyl, CN, etc.; a and b are independently 0, 1 and 2; n and p are independently 1, 2 and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by etherification of N-Boc-piperidin-4-ol with 3,5-dichlorophenol; the resulting N-Boc-4-(3,5-dichlorophenoxy) underwent hydrolysis to give 4-(3,5-dichlorophenoxy)piperidine, which underwent amidation with N-[2-(tert-butoxycarbonylamino)pyridin-4-ylmethyl]piperidine-4-carboxylic acid lithium salt; the resulting amide underwent hydrolysis to give compound II. All the invention compds. were evaluated for their histamine antagonistic activity (data given).

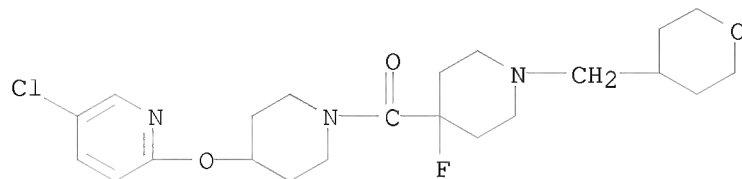
IT 918534-96-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as histamine H3 antagonists useful in treatment of diseases)

RN 918534-96-0 CAPLUS

CN Methanone, [4-[(5-chloro-2-pyridinyl)oxy]-1-piperidinyl][4-fluoro-1-[(tetrahydro-2H-pyran-4-yl)methyl]-4-piperidinyl]- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:529375 CAPLUS

DN 139:101030

TI Preparation of oxo- and oxypyridines as 5-HT4 receptor modulators

IN Gymer, Geoffrey Edward; Kawamura, Kiyoshi; Mihara, Sachiko; Morita, Mikio; Nukui, Seiji; Uchida, Chikara; Stobie, Alan

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1325921	A2	20030709	EP 2002-258899	20030101
	EP 1325921	A3	20031022		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	MX 2003000145	A	20030715	MX 2003-145	20021219
	WO 2003057688	A2	20030717	WO 2002-IB5600	20021220
	WO 2003057688	A3	20031113		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2002353403	A1	20030724	AU 2002-353403	20021220
	CA 2415591	A1	20030707	CA 2003-2415591	20030103
	JP 2003212868	A	20030730	JP 2003-1334	20030107
	BR 2003000018	A	20030909	BR 2003-18	20030107
	US 20030207875	A1	20031106	US 2003-337497	20030107
	US 6979690	B2	20051227		
PRAI	US 2002-346747P	P	20020107		
	WO 2002-IB5600	W	20021220		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 139:101030
GI

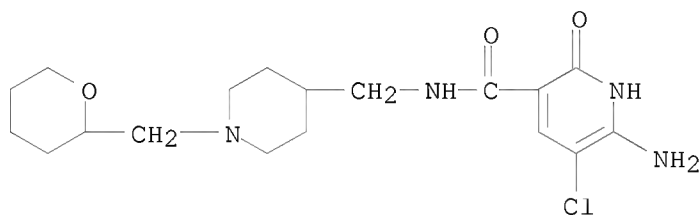
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halogen, alkyl, heteroaryl; R2, R3 = H, alkyl, alkenyl, alkynyl, aminoalkyl, hydroxyalkyl; R4, R5 = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, haloalkyl; NR2R3, NR3R4 = heterocyclic; R6 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl; R7, R8 = H; R7R8 = CH2, CH2CH2; R9 = alkyl, cycloalkyl; L = (un)substituted CH2, NH; M = O, (un)substituted NH, (CH2)n; n = 0-5] were prepared for use as 5-HT4 receptor modulators in the treatment of gastroesophageal reflux disease, non-ulcer dyspepsia, irritable bowel syndrome or the like in mammals, especially humans. Thus, the amide III was prepared by amidation of 6-amino-5-chloro-2-methoxynicotinic acid with 1-tert-butoxycarbonyl-4-aminomethylpiperidine, deblocking, and ethylation.

IT 557106-14-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxo- and oxypyridines as 5-HT4 receptor modulators)

RN 557106-14-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-amino-5-chloro-1,2-dihydro-2-oxo-N-[[1-[(tetrahydro-2H-pyran-2-yl)methyl]-4-piperidiny]methyl]- (CA INDEX NAME)



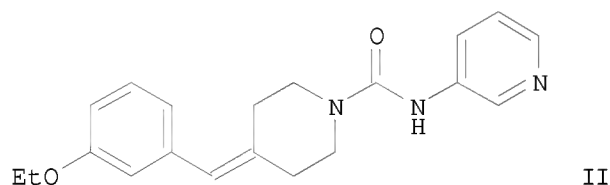
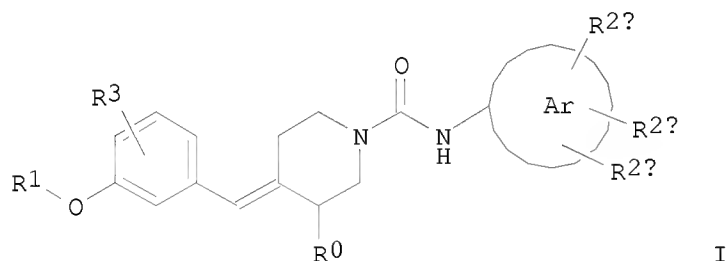
OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 19 not 110
L11 28 L9 NOT L10

=> d bib abs 1-28

L11 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:1294150 CAPLUS
TI Ether benzylidene piperidine aryl carboxamide compounds as FAAH inhibitors and their preparation
IN Fay, Lorraine Kathleen; Johnson, Douglas Scott; Meyers, Marvin Jay; Thorarensen, Atli; Wang, Lijuan Jane
PA Pfizer Inc., USA
SO PCT Int. Appl., 57pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009127944	A1	20091022	WO 2009-IB5246	20090409
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI	US 2008-45899P	P	20080417		
GI					



AB The invention relates to compds. of the formula I, and pharmaceutically acceptable salts thereof, and their use in the treatment of FAAH-mediated diseases or condition. Compds. of formula I wherein Ar is Ph, 5-membered heteroaryl, benzisoxazole, pyrrolopyridine, and benzotriazole; R0 is H and Me; R1 is C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-3 haloalkyl, etc.; R2a is H, C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, C2-6 alkynyl, halo, etc.; R2b and R2c are independently H, halo, CN, CH2CN, etc.; R1R3 taken together to form 5- to 8-membered fused oxacycle; and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cross-coupling of 4-(bromomethylene)-N-pyridin-3-ylpiperidine-1-carboxamide with 3-ethoxyphenylboronic acid. All the invention compds. were evaluated for their FAAH inhibitory activity (some data given).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:920153 CAPLUS
DN 151:220925
TI 1H-Pyrazolo[3,4-d]pyrimidine, purine, 7H-purin-8(9H)-one,

3H-[1,2,3]triazolo[4,5-d]pyrimidine, and thieno[3,2-d]pyrimidine compds.
as mTOR kinase and PI3 kinase inhibitors and their preparation

IN Zask, Arie; Dehnhardt, Christoph Martin; Kaplan, Joshua Aaron; Delos
Santos, Efren Guillermo; Venkatesan, Aranapakam Mudumbai; Verheijen,
Jeroen Cunera

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 69pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

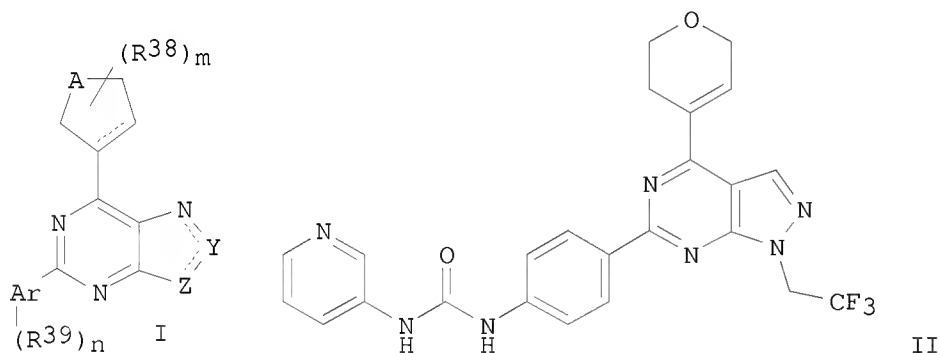
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20090192176	A1	20090730	US 2009-361607	20090129
	WO 2009097490	A1	20090806	WO 2009-US32555	20090130
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI US 2008-24591P P 20080130

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 151:220925

GI



AB The invention is related to 1H-pyrazolo[3,4-d]pyrimidine, purine, 7H-purin-8(9H)-one, 3H-[1,2,3]triazolo[4,5-d]pyrimidine, and thieno[3,2-d]pyrimidine compds. of formula I as mTOR kinase and PI3 kinase inhibitors and their preparation Compds. of formula I, where A is O, CH2O, CH2S, etc.; R38 is C1-6 alkyl, C2-6 alkenyl; C2-6 alkynyl, etc.; m is 0, 1, or 2; Ar is Ph, naphthyl, or (mono/bi)cyclic nitrogen-containing heteroaryl; R39 is halo, (un)substituted C1-6 alkoxy, C1-6 alkyl, etc.; n is 0-5; Y and Z are CO, S, NH, etc.; the dotted line is a single or a double bond, their pharmaceutically acceptable salts and preparative process are claimed. Compound II was prepared by multi-step procedure (procedure given). The invention compds. were evaluated for their mTOR kinase and PI3 kinase inhibitory activities and antitumor activity. From the assay, it was determined that II exhibited the IC50 values of

0.00075(μ M) against mTOR kinase, 66(nM) against PI3 kinase α ,
>10000(nM) against PI3 kinase γ , 7(μ M) against MDA468 and
0.38(μ M) against LNCap.

L11 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:675729 CAPLUS
DN 151:8485
TI Preparation of isoxazolo-pyridine derivatives as modulators of GABA A
 α 5 receptor
IN Buettelmann, Bernd; Jakob-Roetne, Roland; Knust, Henner; Lucas, Matthew
C.; Thomas, Andrew
PA Germany
SO U.S. Pat. Appl. Publ., 81pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

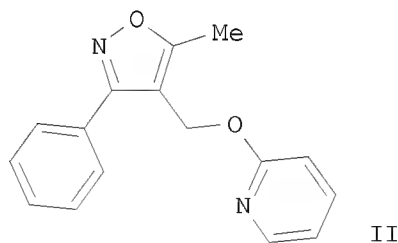
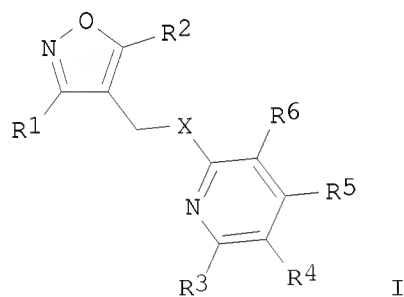
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20090143371	A1	20090604	US 2008-325293	20081201
	WO 2009071476	A1	20090611	WO 2008-EP66225	20081126
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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PRAI EP 2007-122240 A 20071204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 151:8485

GI



AB The invention relates to isoxazolo-pyridine compds., in particular those of formula I and to a pharmaceutically acceptable salts thereof, having affinity and selectivity for the GABA A α 5 receptor binding site, their manufacture, pharmaceutical compns. containing them and their use as cognitive enhancers or for the treatment of cognitive disorders like Alzheimer's disease. Compds. of formula I [X = O or NH; R1 = (un)substituted Ph, pyridinyl, or pyrimidinyl; R2 = H, CH3 or CF3; R3-6 independently = H, (un)substituted alkyl, alkoxy, CN, halo, NO2, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed.

Thus, e.g., reaction of (5-Methyl-3-phenylisoxazol-4-yl)methanol with 2-hydroxypyridine afforded II. The compds. of the examples were tested in radioligand binding assay, and were found to possess a K_i value for displacement of (3H)flumazenil from $\alpha 5$ subunits of the rat GABAA receptor of ≤ 100 nM.

L11 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:519505 CAPLUS

DN 150:494851

TI Preparation of azolecarboxamide compounds or salts thereof as antagonists of neurotrophic factor receptors (TrkA)

IN Sugasawa, Keizo; Kawaguchi, Kenichi; Nomura, Takaho; Matsumoto, Shunichiro; Shin, Takashi; Azami, Hidenori; Abe, Tomoaki; Suga, Akira; Seo, Ryushi; Tanahashi, Masayuki; Watanabe, Toru

PA Astellas Pharma Inc., Japan

SO PCT Int. Appl., 302pp.

CODEN: PIXXD2

DT Patent

LA Japanese

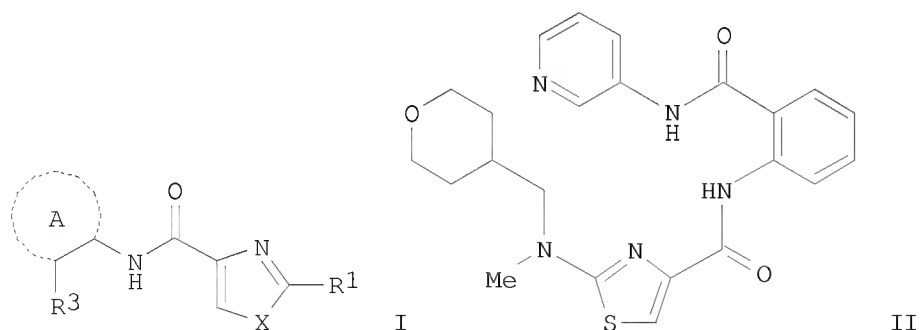
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009054468	A1	20090430	WO 2008-JP69263	20081023
	W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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PRAI JP 2007-276894 A 20071024

OS MARPAT 150:494851

GI



AB There are disclosed novel azolecarboxamide compds. having a thiazole or oxazole ring bound to a benzene, pyridine, pyridazine, thiophene, pyrazole or pyrrole ring through a carboxamide [I; X = S, O; R1 = halo, aryl, heteroaryl, cycloalkyl, 4-piperidyl, 4-tetrahydropyranyl, -Alk-aryl, -Alk-O-aryl, -Alk-O-lower alkyl, -Alk-NHCO-lower alkyl, -Alk-NH CO2-lower alkyl, NH-aryl, NH-(4-piperidyl), etc.; Alk = lower alkylene; R2 = R2aCO,

R2bSO₂, H, halo, lower alkyl, halo-lower alkyl, cyano, lower alkoxy, lower haloalkoxy, etc.; R2a = ORE, CH₂RF, (un)substituted NH₂, heteroaryl; RE = H, lower alkyl; RF = H, heteroaryl, saturated heterocyclyl; R2b = lower alkyl, halo-lower alkyl, Alk-RK, each (un)substituted aryl or saturated heterocyclyl; RK = cyano, HO, N₃, CONH₂, lower alkylcarbonyloxy, (un)substituted NH₂, lower alkylcarbonylamino, lower alkylsulfonyloxy, heteroaryl, saturated heterocyclyl; A = pyridazine-4,5-diyl, 4-carbamoyl-5-methylthiopyrrole-2,3-diyl, each (un)substituted benzene-1,2-diyl, pyridinediyl, or thiophenediyl, N-(un)substituted pyrazolediyl] or salts thereof. These thiazolecarboxamide and oxazolecarboxamide compds. or salts thereof have a potent trkA receptor-inhibiting activity. It is found that the azolecarboxamide compds. or salts thereof can be used as highly effective and highly safe therapeutics or prophylactic agents for frequent urination, urinary urgency or urinary incontinence associated with a lower urinary tract disease including overactive bladder, a lower urinary tract disease accompanied by a lower urinary tract pain such as interstitial cystitis and chronic prostatitis, or a disease accompanied by a pain, whose activity relies on its excellent trkA receptor-inhibiting activity. Thus, 2-amino-N-(pyridin-3-yl)benzamide 117, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 110, and HOBT 100 mg were added to a solution 180 mg 2-[methyl(tetrahydro-2H-pyran-4-ylmethyl)amino]-1,3-thiazole-4-carboxylic acid in 1.2 mL DMF and the resulting mixture was stirred at 60° for 3 days to give 195 mg 2-[methyl(tetrahydro-2H-pyran-4-ylmethyl)amino]-N-[2-(pyridin-3-ylcarbamoyl)phenyl]-1,3-thiazole-4-carboxamide (II). II showed IC₅₀ of 0.57 nM for inhibiting the nerve growth factor (NGF)-induced increase in cellular Ca concentration in HEK 293 cells stably expressing human trkA.

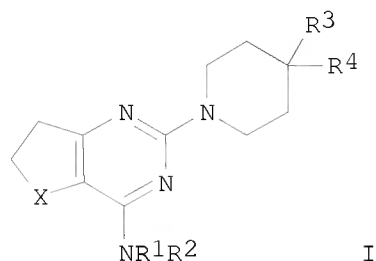
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:490032 CAPLUS
DN 150:472737
TI Preparation of piperidinodihydrothienopyrimidines as phosphodiesterase PDE4 inhibitors.
IN Pouzet, Pascale; Anderskewitz, Ralf; Dollinger, Horst; Fiegen, Dennis; Fox, Thomas; Goeggel, Rolf; Hoenke, Christoph; Martyres, Domnic; Nickolaus, Peter; Klinder, Klaus
PA Boehringer Ingelheim International GmbH, Germany
SO PCT Int. Appl., 290pp.
CODEN: PIXXD2
DT Patent
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009050248	A1	20090423	WO 2008-EP63999	20081016
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PRAI EP 2007-118901 A 20071019
 OS MARPAT 150:472737
 GI



AB Title compds. [I; X = SO, SO₂; R₁ = alkyl; R₂ = H, (substituted) alkyl, alkenyl, mono- or polycyclic cycloalkyl, aryl, heterocyclyl, heteroaryl; NR₁R₂ = 4-7 membered (substituted) heterocyclyl; R₃ = (substituted) aryl, heterocyclyl, heteroaryl, alkoxy, aryloxy, etc.; R₄ = H, cyano, OH, CF₃, CHF₂, CH₂F, F, Me, Et, alkoxy, alkoxycarbonyl, heterocyclylcarbonyl, etc.; CR₃R₄ = mono- or bicyclic (substituted) (unsatd.) heterocyclyl], were prepared Thus, 2,4-dichloro-6,7-dihydrothieno[3,2-d]pyrimidine was heated with (R)-2-amino-3-methyl-1-butanol and diisopropylethylamine in dioxane at 100° to give (R)-2-(2-chloro-6,7-dihydrothieno[3,2-d]pyrimidin-4-ylamino)-3-methylbutan-1-ol. This was oxidized with tert-Bu hydroperoxide, titanium tetraisopropoxide, and (S)-1,1'-bi-2-naphthol in CHCl₃/H₂O to give the sulfoxide, which was heated with 4-(4-chlorophenyl)piperidine and diisopropylethylamine in dioxane at 120° to give title compound (R)-2-[2-[4-(4-chlorophenyl)piperidin-1-yl]-5-oxo-6,7-dihydro-5H-5λ4-thieno[3,2-d]pyrimidin-4-ylamino]-3-methylbutan-1-ol. The latter at 1 μM gave 93% inhibition of PDE4B.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:1179866 CAPLUS

DN 149:425812

TI 8-Oxyquinoline derivs. as bradykinin B₂ receptor modulator and their preparation, and use in the treatment of diseases

IN Gibson, Christoph; Tradler, Thomas; Schnatbaum, Karsten; Pfeifer, Jochen; Locardi, Elsa; Scharn, Dirk; Paschke, Matthias; Reimer, Ulf; Richter, Uwe; Hummel, Gerd; Reineke, Ulrich

PA Jerini A.-G., Germany

SO PCT Int. Appl., 193pp.

CODEN: PIXXD2

DT Patent

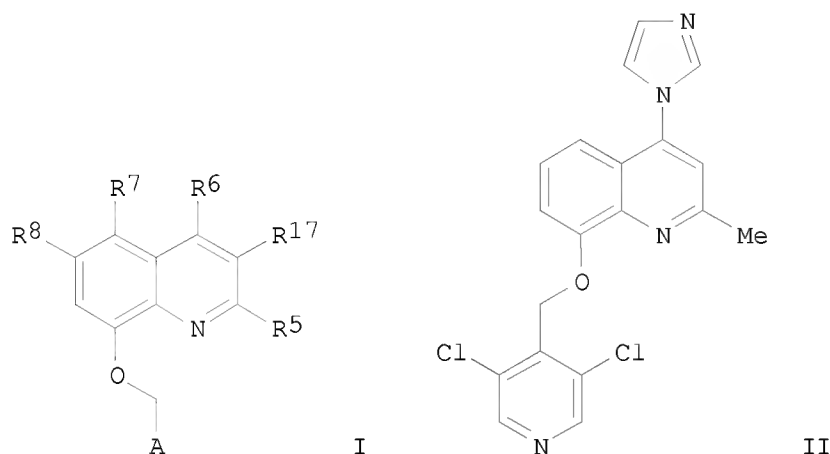
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008116620	A1	20081002	WO 2008-EP2316	20080322
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,				

IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2008232021 A1 20081002 AU 2008-232021 20080322
 PRAI EP 2007-6089 A 20070323
 WO 2008-EP2316 W 20080322
 OS MARPAT 149:425812
 GI



AB The invention is related to compound of the formula I: or a pharmacol. acceptable salt, solvate, or hydrate thereof. Comps. of formula I wherein A is (un)substituted 6-membered heteroaryl; R5 is halo, OH, CN, NO2, mercapto, (hetero)alkyl, alkenyl and alkynyl; R6 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted 5-membered heterocycloalkyl; R7 is H, halo, OH, CN, amino, NO2, and (hetero)alkyl; R8 and R17 are independently H and halo; and their pharmacol. acceptable salts, solvates, and hydrates thereof, are claimed. Example compound II•TFA was prepared by amination of 4-chloro-2-methylquinolin-8-ol with imidazole; the resulting 4-(imidazol-1-yl)-2-methylquinolin-8-ol underwent etherification with 3,5-dichloro-4-chloromethylpyridine to give compound II, which was converted to II•TFA during purification with HPLC. All the invention compds. were evaluated for their bradykinin B2 receptor modulatory activity. From the assay, it was determined that the invention compds. exhibited IC50 values of 500 nM or less.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:1064426 CAPLUS
 DN 147:386026
 TI Preparation of nitrogenated heterocyclic derivatives as antagonists of chemokine receptor 5 (CCR5)
 IN Kusuda, Shinya; Nishiyama, Toshihiko; Hashimura, Kazuya; Ueda, Junya; Shibayama, Shiro
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 185 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese

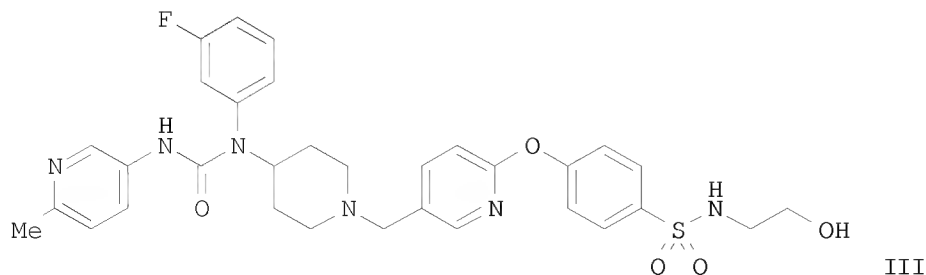
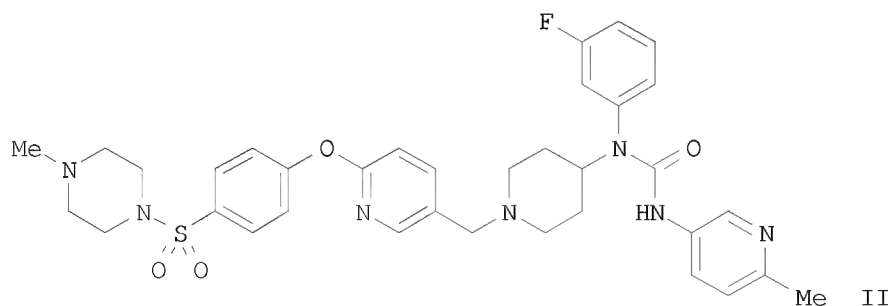
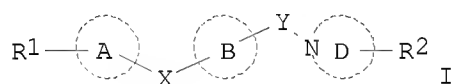
FAN.CNT 1

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PI	WO 2007105637	A1	20070920	WO 2007-JP54684	20070309
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	AU 2007225836	A1	20070920	AU 2007-225836	20070309
	CA 2644368	A1	20070920	CA 2007-2644368	20070309
	EP 1995246	A1	20081126	EP 2007-738169	20070309
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	MX 2008011414	A	20080922	MX 2008-11414	20080905
	NO 2008003813	A	20081210	NO 2008-3813	20080908
	IN 2008CN04769	A	20090313	IN 2008-CN4769	20080910
	KR 2009008217	A	20090121	KR 2008-724728	20081009
	CN 101443322	A	20090527	CN 2007-80016742	20081110
	US 20090131403	A1	20090521	US 2009-282464	20090109
PRAI	JP 2006-66451	A	20060310		
	WO 2007-JP54684	W	20070309		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:386026

GI



AB The title compds. [I; R1 = NR1ASO2R1B, SO2NR1CR1D, CO2R1E, OR1F, S(O)mR1G, CONR1HR1J, NR1K COR1L, cyano, NO2, NR1MR1N, N(R1P)SO2R1QR1R, N(R1S)SO2N(R1T)CO2R1U, N(R1AA)CONR1BBR1CC, N(R1DD)C(S)NR1EER1FF, COR1GG, C(R1HHR1JJ)OR1KK, C(R1LLR1MM)N(R1NN)SO2R1PP, (un)substituted 3- to 15-membered heterocyclyl, etc.; m = 0, 1,2; R1A, R1B, R1C, R1D, R1E, R1F, R1G, R1H, R1J, R1K, R1L, R1M, R1N, R1P, R1Q, R1R, R1S, R1T, R1U, R1AA, R1BB, R1CC, R1DD, R1EE, R1FF, R1GG, R1HH, R1JJ, R1KK, R1LL, R1MM, R1NN, R1PP, = H, each (un)substituted hydrocarbyl or 3- to 15-membered heterocyclyl; NR1CR1D, NR1HR1J, NR1MR1N, NR1BBR1CC, or NR1EER1FF, together forms (un)substituted N-containing heterocyclic ring; X, Y = a bond or a spacer having 1-3 atoms in the primary chain; ring A or B = (un)substituted 3- to 15-membered carbocyclic or heterocyclic ring; ring D = (un)substituted 3- to 15-membered heterocyclic ring; R2 = H, (un)substituted hydrocarbyl, cyano, (un)protected HO, (un)substituted NH2, oxo, (un)substituted 3- to 15-membered heterocyclyl, :N-OR6; R6 = H, C1-4 alkyl; provided that R1 and a substituent of ring A are taken together to form (un)substituted ring], salts thereof, N-oxides thereof, or solvates thereof, or prodrugs thereof are prepared These compds. can bind specifically to chemokine receptor CCR5 and therefore are useful for the prevention and/or treatment of CCR5-associated diseases, such as cardiovascular diseases, inflammatory diseases (e.g., asthma, nephropathy, nephritis, inflammatory bowel disease, hepatitis, arthritis, rheumatoid arthritis, rhinitis, conjunctivitis, ulcerative colitis), immune-mediated diseases (e.g., autoimmune disease, rejection after organ transplantation, immunosuppression, psoriasis, multiple sclerosis), infectious diseases (e.g., infection with human immunodeficiency virus, acquired immunodeficiency syndrome), allergic diseases (e.g., atopic dermatitis, urticaria, allergic bronchopulmonary aspergillosis, allergic eosinophilic gastroenteritis), suppression of ischemia-reperfusion injury, acute respiratory syndrome, shock associated with a bacterial infection, diabetes, cancer metastasis, or respiratory syncytial virus infection. Thus, a solution of 111 mg N-(3-fluorophenyl)-N'-(6-methylpyridin-3-yl)-N-(piperidin-4-yl)urea dihydrochloride and 100 mg 6-(4-[(4-Methylpiperazin-1-yl)sulfonyl]phenoxy)nicotinaldehyde in 7 mL was treated with 19 μ L AcOH, 77 μ L Et3N, and 117 mg sodium triacetoxymethylborohydride, and stirred at room temperature for 1 day to give N-(3-Fluorophenyl)-N-(1-[(6-(4-[(4-methyl-1-piperazinyl)sulfonyl]phenoxy)-3-pyridinyl)methyl]-4-piperidinyl)-N'-(6-methyl-3-pyridinyl)urea (II). 4-[(5-[(4-(N-(3-Fluorophenyl)-N-[(6-methyl-3-pyridinyl)amino]carbonyl)amino)-1-piperidinyl)methyl]-2-pyridinyl)oxy]-N-(2-hydroxyethyl)benzenesulfonamide (III) showed IC50 of $\leq 0.1 \mu$ M for inhibiting the binding of [125I]MIP-1 β to human CCR5. A tablet and an ampule formulation containing II were prepared

RE.CNT 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:675518 CAPLUS
DN 147:64556
TI Combination of an H3 antagonist/inverse agonist and an appetite suppressant
IN Van Heek, Margaret; Hwa, Joyce J.; Graziano, Michael P.; Lachowicz, Jean E.; Kowalski, Timothy J.; Veltri, Enrico P.; McCormick, Kevin D.; Berlin, Michael Y.; Aslanian, Robert G.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 345 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070142369	A1	20070621	US 2006-640729	20061218
	AU 2006331994	A1	20070705	AU 2006-331994	20061218
	CA 2634235	A1	20070705	CA 2006-2634235	20061218
	WO 2007075555	A2	20070705	WO 2006-US48223	20061218
	WO 2007075555	A3	20071221		
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JP	2009521445	T	20090604	JP 2008-547391	20061218
MX	2008008336	A	20080814	MX 2008-8336	20080623
IN	2008CN03160	A	20090306	IN 2008-CN3160	20080623
ZA	2008006068	A	20090729	ZA 2008-6068	20080711
KR	2008081321	A	20080909	KR 2008-717435	20080717
NO	2008003204	A	20080922	NO 2008-3204	20080718
CN	101378807	A	20090304	CN 2006-80053164	20080821
PRAI	US 2005-752323P	P	20051221		
	WO 2006-US48223	W	20061218		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:64556

AB The present invention relates to pharmaceutical compns. comprising therapeutic combinations comprising: one or more H3 antagonists/inverse agonists; one or more appetite suppressants selected from the group consisting of CB1 antagonists/inverse agonists, sibutramine, phentermine and topiramate; and optionally one or more HMG-CoA reductase inhibitors. The invention also relates to medicaments and kits comprising the pharmaceutical compns. of the present invention, and methods of treating obesity, obesity related disorders and diabetes using the pharmaceutical compns. of the present invention.

L11 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:388968 CAPLUS

DN 146:448326

TI Antibacterial compounds of diheterocyclic amides

IN Zhang, Dan

PA Wen, Guihua, Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 29pp.

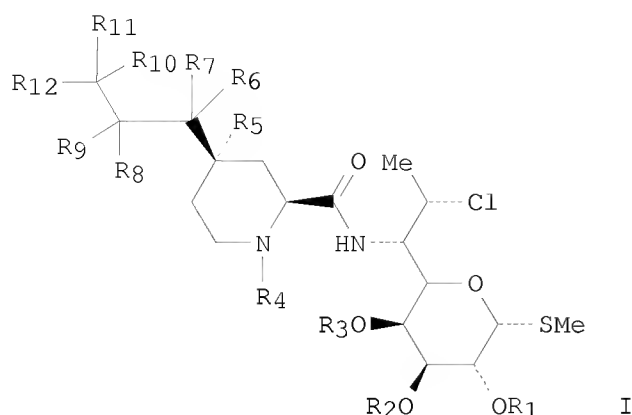
CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1935821	A	20070328	CN 2006-10139007	20060920
PRAI	CN 2005-10037391	A	20050920		
OS	MARPAT 146:448326				
GI					



AB The title compound is represented by I, wherein OR1 = OH, or ester group, especially phosphate ester group, palmitate ester group, and maleate ester group; R2, R3 = H or P(=O)(OH)2; R4 = H, C1-C6 alkyl or chain alkyl, amide, carboxyl, or ester group, especially C1-C3 alkyl or chain alkyl; R5, R6, R7, R8, R9, R10, R11 = H or F; and R12 = H, F or O, S. The invention also discloses antibacterial application of the compound or its pharmaceutical accepted salts.

L11 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:201033 CAPLUS

DN 146:274347

TI Substituted imidazolidinones and related compounds as chemokine receptor binding compounds and their preparation, pharmaceutical compositions and use in the treatment of infection of target cells by human immunodeficiency virus

IN Zhou, Yuanxi; Bourque, Elyse; Zhu, Yongbao; McEachern, Ernest J.; Harwig, Curtis; Skerlj, Renato T.; Bridger, Gary J.; Li, Tong-Shuang; Metz, Markus

PA Anormed Inc., Can.

SO PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DT Patent

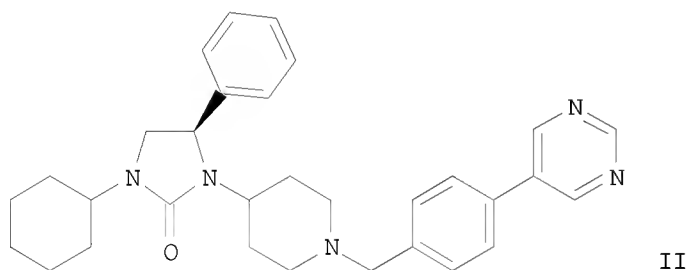
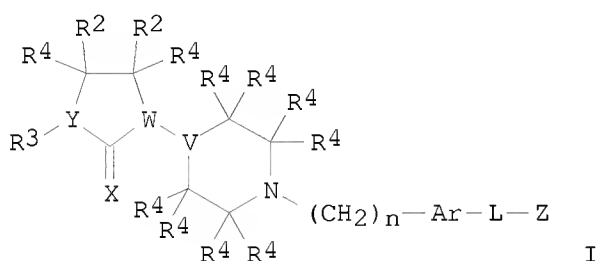
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007022371	A2	20070222	WO 2006-US32170	20060816
	WO 2007022371	A3	20071101		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	CA 2619881	A1	20070222	CA 2006-2619881	20060816
	US 20070066624	A1	20070322	US 2006-505669	20060816

EP 1924265	A2	20080528	EP 2006-813506	20060816
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009504769	T	20090205	JP 2008-527141	20060816
BR 200614801	A2	20090519	BR 2006-14801	20060816
MX 2008002214	A	20081127	MX 2008-2214	20080215
IN 2008KN00797	A	20081121	IN 2008-KN797	20080222
CN 101309690	A	20081119	CN 2006-80038097	20080414
PRAI US 2005-708471P	P	20050816		
WO 2006-US32170	W	20060816		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 146:274347
GI



AB The invention relates to chemokine receptor binding compds. of formula I, pharmaceutical compns. and their use. Compds. of formula I wherein V and W are independently N and CR; X is O, S, NH and derivs., NOH and derivs., N-acyl, etc.; Y is O, S, N and CR; Z is absent, (un)substituted alkyl, OH and derivs., CO₂H and derivs., CONH₂ and derivs., carbocycle, heterocycle, and (hetero)aryl; Ar is (un)substituted carbocycle, (un)substituted heterocycle, and (un)substituted (hetero)aryl; L is absent id Z is absent; L is linker between Ar and Z, wherein L is a bond, O, S, NH and derivs., SO, SO₂, SO₂NH and derivs., co, etc.; R₂ is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, carbocycle, heterocycle, and (hetero)aryl; R₃ is absent when Y is O and S; when Y is N or CR, R₃ is H, NH₂ and derivs., CONHOH and derivs., CONH₂ and derivs., acyl, CO₂H and derivs., OH and derivs., etc.; each R and R₄ are independently H and C1-6 alkyl; n is 1 - 3; and their pharmaceutically acceptable salts thereof, are claimed. More specifically, the invention relates to modulators of chemokine receptor activity, preferably modulators of CCR4 or CCR5. In one aspect, these compds. demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV). Example compound II was prepared by cross-coupling of 5-bromopyrimidine with

4-formylbenzeneboronic acid; the resulting 4-(pyrimidin-5-yl)benzaldehyde underwent reductive amination with (R)-1-cyclohexyl-4-phenyl-3-(piperidin-4-yl)imidazolidin-2-one to give compound II. All the invention compds. were evaluated for their chemokine receptor binding affinity (data given).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:33488 CAPLUS

DN 146:121837

TI Preparation of pyridyl- and pyridonylcarbonylaminopiperidines for the treatment of gastrointestinal disorders

IN Druzgala, Pascal

PA Aryx Therapeutics, USA

SO PCT Int. Appl., 146pp.

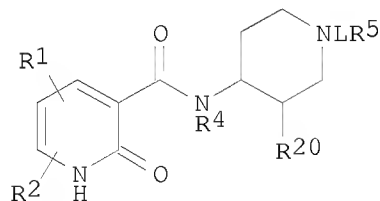
CODEN: PIXXD2

DT Patent

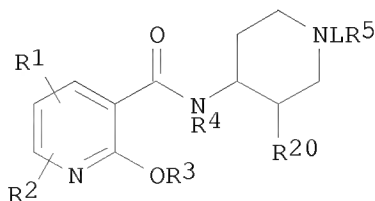
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007005951	A2	20070111	WO 2006-US26166	20060705
	WO 2007005951	A3	20070329		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	CA 2612893	A1	20070111	CA 2006-2612893	20060705
	EP 1907376	A2	20080409	EP 2006-774511	20060705
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
	JP 2009500419	T	20090108	JP 2008-520356	20060705
	MX 2007016373	A	20080429	MX 2007-16373	20071218
	CN 101258145	A	20080903	CN 2006-80032211	20080303
PRAI	US 2005-696662P	P	20050705		
	WO 2006-US26166	W	20060705		
OS	MARPAT 146:121837				
GI					



I



II

AB Title compds. [I, II; L = (substituted) alkyl, alkylcarbonyl, alkylaminoalkyl, alkylcarbonylamino, alkylaminocarbonyl; R1 = halo; R2 =

amino; R3 = H, alkyl; R4 = H, Me; R5 = alkoxy, (substituted) cycloalkoxy, heterocycloalkyl, aryl, aryloxy, arylcarbonylalkylamino, etc.; R20 = H, OH, alkoxy], were claimed for treatment of emesis, dyspepsia, gastroparesis, constipation, intestinal pseudoobstruction, gastroesophageal reflux, and postoperative ileus (no data).

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:608560 CAPLUS

DN 145:83228

TI Preparation of pyrid-2-ones useful as inhibitors of Tec family protein kinases for the treatment of inflammatory, proliferative and immunologically-mediated diseases

IN Charrier, Jean-Damien; Durrant, Steven; Ramaya, Sharn; Jimenez, Juan-Miguel; Rutherford, Alistair

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DT Patent

LA English

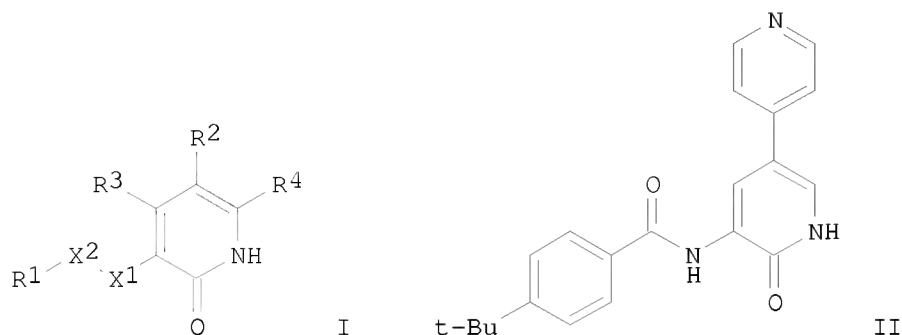
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006065946	A1	20060622	WO 2005-US45336	20051215
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005316540	A1	20060622	AU 2005-316540	20051215
	CA 2591413	A1	20060622	CA 2005-2591413	20051215
	US 20060183911	A1	20060817	US 2005-304057	20051215
	EP 1831168	A1	20070912	EP 2005-854119	20051215
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	JP 2008524233	T	20080710	JP 2007-546878	20051215
	ZA 2007004971	A	20080925	ZA 2007-4971	20051215
	MX 2007007330	A	20071004	MX 2007-7330	20070618
	IN 2007KN02260	A	20070817	IN 2007-KN2260	20070619
	NO 2007003628	A	20070716	NO 2007-3628	20070716
	KR 2007095952	A	20071001	KR 2007-716337	20070716
	CN 101111479	A	20080123	CN 2005-80047554	20070731
	JP 2009062391	A	20090326	JP 2008-287171	20081107
PRAI	US 2004-636754P	P	20041216		
	US 2005-673870P	P	20050422		
	JP 2007-546878	A3	20051215		
	WO 2005-US45336	W	20051215		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 145:83228; MARPAT 145:83228

GI



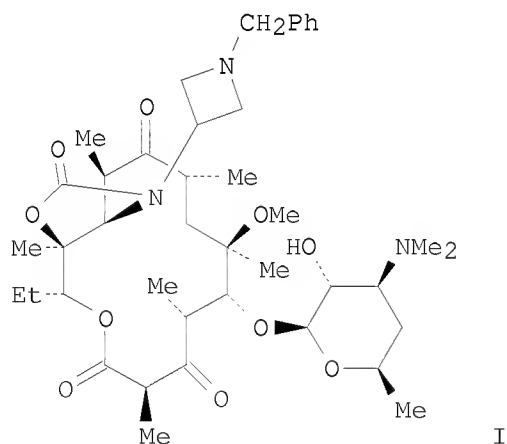
AB The title compds. I [R3, R4 = H, halo or alkyl optionally substituted with halo, alkyl, OCH3, NO2, NH2, CN, NHCH3, SCH3, or N(CH)2; R2 = 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S; X1, X2 = C(O), NR, or SO2 (wherein one of X1 or X2 = NR and other of X1 or X2 = C(O) or SO2); R1 = TQ (T = a bond or alkylene wherein up tp 3 methylene units are optionally replaced by O, S, CS, etc.; Q = H, alkyl, 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S)] which are effective as inhibitors of Tec family (e.g., Tec, Btk, Itk/Emt/Tsk, Bmx, Txk/Rlk) protein kinases, were prepared Thus, reacting amrinone with 4-tert-butylbenzoyl chloride afforded 9% II which showed Ki between 0.1 μ M and 1 μ M against ITK. The compds. I and their pharmaceutically acceptable compns. are useful for treating or preventing a variety of diseases, disorders or conditions, including, but not limited to, an autoimmune, inflammatory, proliferative, or hyperproliferative disease or an immunol.-mediated disease.

OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
 RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2006:606364 CAPLUS
 DN 145:83616
 TI Preparation of erythromycin macrolide antibiotics and their use as antibacterial and antiprotzoal agents
 IN Chupak, Louis S.; Flanagan, Mark E.; Kaneko, Takushi; Magee, Thomas V.; Noe, Mark C.; Reilly, Usa
 PA Pfizer Inc, USA
 SO U.S. Pat. Appl. Publ., 69 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060135447	A1	20060622	US 2005-313523	20051221
	US 7462600	B2	20081209		
	AU 2005317735	A1	20060629	AU 2005-317735	20051212
	AU 2005317735	B2	20090604		

CA 2591746	A1	20060629	CA 2005-2591746	20051212
WO 2006067589	A1	20060629	WO 2005-IB3829	20051212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1836211	A1	20070926	EP 2005-813939	20051212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 4111994	B1	20080702	JP 2007-547694	20051212
JP 2008524319	T	20080710		
BR 200519135	A2	20081223	BR 2005-19135	20051212
NL 1030713	A1	20060622	NL 2005-1030713	20051220
NL 1030713	C2	20061212		
IN 2007DN04303	A	20070824	IN 2007-DN4303	20070606
ZA 2007005108	A	20090128	ZA 2007-5108	20070615
MX 2007007598	A	20070725	MX 2007-7598	20070620
KR 2007089191	A	20070830	KR 2007-714160	20070621
KR 897678	B1	20090514		
NO 2007003367	A	20070905	NO 2007-3367	20070629
CN 101120011	A	20080206	CN 2005-80048246	20070821
PRAI US 2004-638097P	P	20041221		
US 2005-717530P	P	20050914		
WO 2005-IB3829	W	20051212		
OS CASREACT 145:83616				
GI				



AB Erythromycin macrolide antibiotics, such as I, are prepared and useful in the treatment of diseases, e.g. bacterial or protozoal infections, as well as the treatment of cancer, inflammation, atherosclerosis and gastric mobility reduction. Thus, I was prepared from erythromycin and displayed less than 0.06 µg/mL resistance against Streptococcus family strains as well.

as 0.5 µg/mL inhibition against Haemophilus influenzae.
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L11 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:780693 CAPLUS
DN 141:296042
TI Preparation of quinazolines non-receptor tyrosine kinase inhibitors as
antitumor agents
IN Barlaam, Bernard
PA AstraZeneca AB, Swed.; AstraZeneca UK Limited
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004081000	A1	20040923	WO 2004-GB942	20040305
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 2003-290581	A	20030310		
OS	MARPAT 141:296042				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title quinazolines I [wherein Z = O, S, SO, SO₂, NR₂, CR₂R₃; R₂, R₃ = independently H, alkyl; m = 1-3; R₁ = independently halo, CF₃, CN, NC, NO₂, OH, SH, NH₂, CHO, CO₂H, carbamoyl, sulfamoyl, alk(en/yn)yl, etc.; R_a = H, halo; R_b, R_c = independently H, halo, alkyl, alkoxy; R_d = alkoxy; or their pharmaceutically acceptable salts thereof] were prepared as non-receptor tyrosine kinase inhibitors. For example, 4-chloro-7-(2-chloroethoxy)-6-methoxyquinazoline (preparation given) was coupled with 2-amino-3-chloro-6-methoxypyridine using sodium hexamethyldisilazane in DMF to give II. Selected I inhibited the phosphorylation of a tyrosine containing polypeptide substrate by human recombinant c-Src kinase (IC₅₀ in the range of 0.001-0.5 µM), suppressed the proliferation of mouse 3T3 fibroblast cells stably-transfected with an activating mutant of human c-Src (IC₅₀ in the range of 0.1-5 µM), and inhibited the migration of the human tumor cell line A549 (IC₅₀ in the range of 0.1-5 M). In addition, no physiol. unacceptable toxicity was observed at the ED for compds. tested in an in vivo A549 xenograft growth assay using athymic nude mice. Thus, I and pharmaceutical compns. containing them are useful as anti-invasive agents in the containment and/or treatment of solid tumor disease.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:546501 CAPLUS
 DN 141:106486
 TI Preparation of 4-(pyridin-4-ylamino)quinazolines as antitumor agents
 IN Barlaam, Bernard
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056812	A1	20040708	WO 2003-GB5534	20031218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003292435	A1	20040714	AU 2003-292435	20031218
PRAI	EP 2002-293220	A	20021223		
	WO 2003-GB5534	W	20031218		
OS	MARPAT 141:106486				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinazolines I [Z = O, S, SO, SO₂, (un)substituted NH₂, CH₂; m = 1, 2, 3; R₁ = halogen, CF₃, CN, NO₂, (un)substituted OH, SH, NH₂, CHO, CO₂H, CONH₂, alkyl, alkenyl, alkynyl, SO₂NH₂; R₂ = H, halogen; R₃, R₅ = H, halogen, alkyl, alkoxy; R₄ = alkoxy] were prepared for use as an anti-invasive agent in the containment and/or treatment of solid tumor disease (no data). Thus, 5-chloro-2-methoxypyridine was converted to its N-oxide, nitrated to 5-chloro-2-methoxy-4-nitropyridine and reduced to the amine which was treated with the 4-chloroquinazoline fragment to give the quinazoline II. The chloroquinazoline fragment was prepared by treating 5,7-difluoro-3,4-dihydroquinazolin-4-one with 4-tetrahydropyranol followed by 1-(2-hydroxyethyl)piperazine and acetylation.

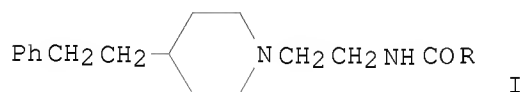
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2004:101133 CAPLUS
 DN 140:163710
 TI Preparation of piperidine derivatives as Sodium channel inhibitors
 IN Kikuchi, Kazumi; Oku, Makoto; Hondo, Takeshi; Kimizuka, Tetsuya; Watanabe, Toshihiro; Nagakura, Yukinori; Tomiyama, Hiroshi; Sonogawa, Motoharu; Tokuzaki, Kazuo; Iwai, Yoshinori
 PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Kotobuki Pharmaceutical Co., Ltd.
 SO PCT Int. Appl., 106 pp.
 CODEN: PIXXD2
 DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011430	A1	20040205	WO 2003-JP9474	20030725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003248122	A1	20040216	AU 2003-248122	20030725
PRAI	JP 2002-216187	A	20020725		
	WO 2003-JP9474	W	20030725		
OS	MARPAT 140:163710				
GI					



AB Title compds. e.g. I (R = pyridyl, substituted pyridyl, etc.) and their pharmaceutically acceptable salts, useful for treatment of neuropathic pain, are prepared Thus, reaction of 2-(4-phenethylpiperidino)ethylamine with isonicotinic acid in DMF in the presence of 1-hydroxybenzotriazole and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature overnight gave, after treatment with HCl in EtOAc, N-[2-(4-phenethylpiperidino)ethyl]isonicotinamide dihydrochloride (II). II showed sodium channel blocking activity with IC₅₀ of 22μM.

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 102 THERE ARE 102 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2003:356302 CAPLUS

DN 138:358540

TI Wafers containing inhibitors of metalloproteinase and urokinase for wound healing

IN Auffret, Anthony David; Eccleston, Gillian Margaret; Humphrey, Michael John; Matthews, Kerr Hugh; Stevens, Howard Norman Ernest

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037395	A1	20030508	WO 2002-IB4142	20021009
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002337444 A1 20030512 AU 2002-337444 20021009
 US 20030099693 A1 20030529 US 2002-285072 20021030
 PRAI GB 2001-26389 A 20011102
 US 2001-340973P P 20011207

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention provides a wafer composition comprising (i) a polymer substrate (xanthan gum), (ii) a surfactant (Lutrol F68), and water. The wafer further comprises stable (in size and form) crystalline particles of a pharmaceutically active wound healing agent, such as an metalloproteinase (MMP)-3 and/or MMP-13 inhibitor or an urokinase-type plasminogen activator (uPA) inhibitor.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:736252 CAPLUS

DN 137:263031

TI Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors

IN Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DT Patent

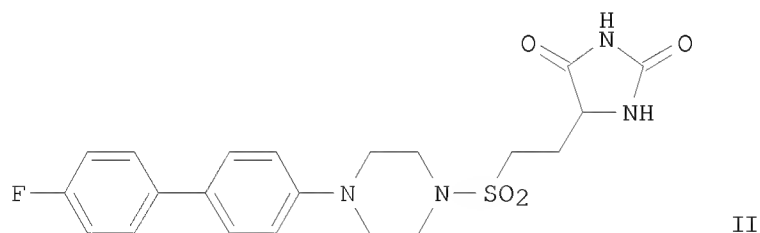
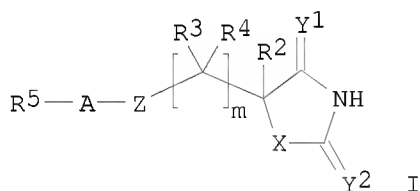
LA English

FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002074767	A1	20020926	WO 2002-SE472	20020313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440630	A1	20020926	CA 2002-2440630	20020313
AU 2002237626	A1	20021003	AU 2002-237626	20020313
AU 2002237626	B2	20070517		
EE 200300445	A	20031215	EE 2003-445	20020313
EP 1370556	A1	20031217	EP 2002-704031	20020313
EP 1370556	B1	20060719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008104	A	20040302	BR 2002-8104	20020313
CN 1509272	A	20040630	CN 2002-809788	20020313
CN 1304377	C	20070314		
CN 1509286	A	20040630	CN 2002-809915	20020313
CN 1509276	A	20040630	CN 2002-810093	20020313
CN 1269804	C	20060816		
JP 2004527515	T	20040909	JP 2002-573776	20020313

HU 2004000327	A2	20050128	HU 2004-327	20020313
HU 2004000327	A3	20050628		
NZ 528106	A	20050324	NZ 2002-528106	20020313
EP 1676846	A2	20060705	EP 2006-8158	20020313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 333454	T	20060815	AT 2002-704031	20020313
RU 2288228	C2	20061127	RU 2003-127734	20020313
ES 2267986	T3	20070316	ES 2002-704031	20020313
CN 1962641	A	20070516	CN 2006-10106152	20020313
IN 2003MN00805	A	20050318	IN 2003-MN805	20030827
ZA 2003006731	A	20041129	ZA 2003-6731	20030828
ZA 2003006732	A	20041129	ZA 2003-6732	20030828
ZA 2003006734	A	20041129	ZA 2003-6734	20030828
ZA 2003006737	A	20041129	ZA 2003-6737	20030828
MX 2003008191	A	20040129	MX 2003-8191	20030910
NO 2003004045	A	20031110	NO 2003-4045	20030912
NO 327114	B1	20090427		
KR 886315	B1	20090304	KR 2003-711987	20030915
US 20040127528	A1	20040701	US 2004-471900	20040114
US 7427631	B2	20080923		
HK 1059932	A1	20061222	HK 2004-102796	20040421
US 20080171882	A1	20080717	US 2007-928040	20071030
US 20080306065	A1	20081211	US 2008-115785	20080506
PRAI SE 2001-902	A	20010315		
CN 2002-810093	A3	20020313		
EP 2002-704031	A3	20020313		
WO 2002-SE472	W	20020313		
US 2004-471900	A1	20040114		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 137:263031
GI



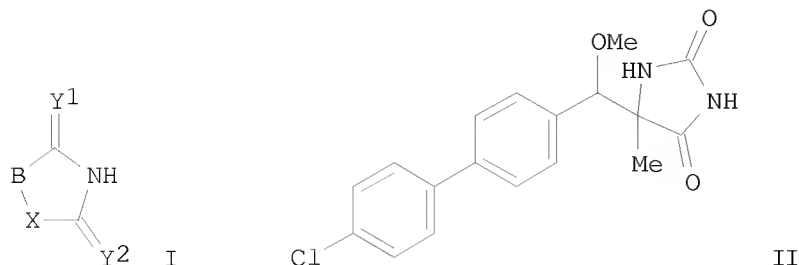
AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO, SO2; m = 1, 2; A = a bond, alkyl, haloalkyl, etc.; R1 = H, alkyl, haloalkyl; R2, R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R5 = monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase

inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting
 1-[4-(4-fluorophenyl)phenyl]piperazine and
 2-(2,5-dioxo-4-imidazolidinyl)-1-ethanesulfonyl chloride (preparation given) in
 the presence Et3N in CH2Cl2 afforded II.

OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
 RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2002:736236 CAPLUS
 DN 137:247696
 TI Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase
 inhibitors
 IN Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af
 Rosenschoeld, Magnus; Zlatoidsky, Pavol
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 300 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2002074750	A1	20020926	WO 2002-SE475	20020313	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2440632	A1	20020926	CA 2002-2440632	20020313	
	AU 2002237629	A1	20021003	AU 2002-237629	20020313	
	EE 200300439	A	20031215	EE 2003-439	20020313	
	EP 1370536	A1	20031217	EP 2002-704034	20020313	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	BR 2002008105	A	20040309	BR 2002-8105	20020313	
	CN 1509275	A	20040630	CN 2002-810041	20020313	
	HU 2004000206	A2	20040830	HU 2004-206	20020313	
	HU 2004000206	A3	20041028			
	JP 2004527511	T	20040909	JP 2002-573759	20020313	
	EP 1676846	A2	20060705	EP 2006-8158	20020313	
	EP 1676846	A3	20060726			
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	CN 1962641	A	20070516	CN 2006-10106152	20020313	
	IN 2003MN00800	A	20050318	IN 2003-MN800	20030827	
	MX 2003008180	A	20031212	MX 2003-8180	20030910	
	NO 2003004025	A	20031113	NO 2003-4025	20030911	
	US 20040147573	A1	20040729	US 2003-471808	20030912	
PRAI	SE 2001-902	A	20010315			
	SE 2001-903	A	20010315			
	CN 2002-810093	A3	20020313			
	EP 2002-704031	A3	20020313			
	WO 2002-SE475	W	20020313			
OS	MARPAT 137:247696					
GI						



AB The title compds. [I; X = NR₁, O, S; B = C, CH, and is a point of attachment of one or more other functional groups or side chains; Y₁, Y₂ = O, S; R₁ = H, alkyl, haloalkyl], useful in the treatment of a disease or condition mediated by one or more metalloproteinase enzymes (no biol. data), were prepared E.g., a 4-step synthesis of II, starting with 4-(4-chlorophenyl)benzaldehyde, was given.

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2002:312012 CAPLUS

DN 136:340996

TI Preparation of sulfamides as metalloprotease inhibitors

IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhana, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray

PA Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.

SO U.S., 47 pp., Cont.-in-part of U.S. 6,143,744.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376506	B1	20020423	US 1999-469677	19991222
	CA 2278694	A1	19980730	CA 1998-2278694	19980114
	CA 2278694	C	20060926		
	AU 9866140	A	19980818	AU 1998-66140	19980114
	AU 730127	B2	20010222		
	EP 958287	A1	19991124	EP 1998-907943	19980114
	EP 958287	B1	20020911		
	EP 958287	B2	20080409		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK				
	BR 9807508	A	20000321	BR 1998-7508	19980114
	NZ 336625	A	20010427	NZ 1998-336625	19980114
	HU 2000000941	A2	20010428	HU 2000-941	19980114
	HU 2000000941	A3	20020628		
	JP 2001523222	T	20011120	JP 1998-531537	19980114
	JP 3563411	B2	20040908		
	AT 223909	T	20020915	AT 1998-907943	19980114
	ZA 9800376	A	19980723	ZA 1998-376	19980116
	US 5998412	A	19991207	US 1998-9951	19980121
	NO 9903587	A	19990922	NO 1999-3587	19990722
	NO 313635	B1	20021104		

	MX 9906822	A	20000131	MX 1999-6822	19990722
	US 6130220	A	20001010	US 1999-369677	19990805
	US 6143744	A	20001107	US 1999-369501	19990805
PRAI	US 1997-36714P	P	19970123		
	US 1997-62209P	P	19971016		
	US 1998-9951	A3	19980121		
	US 1999-369501	A2	19990805		
	WO 1998-EP180	W	19980114		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 136:340996

AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl, (hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically-acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. Thus, 2-(R)-[(1,2,3,4-tetrahydro- β -carbolino-2-sulfonyl)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2-chloroethanol, reaction of the oxazolidone formed with 1,2,3,4-tetrahydro- β -carboline, and saponification Metalloprotease and TNF- α inhibitory test data are tabulated.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2001:545686 CAPLUS

DN 135:137524

TI Preparation of novel piperidine compounds as sodium and potassium channel blockers and drugs containing the same

IN Ozaki, Fumihiro; Kaneko, Toshihiko; Tabata, Mutsuko; Takahashi, Yoshinori; Miyazaki, Kazuki; Kamata, Junichi; Yoshida, Ichiro; Matsukura, Masayuki; Suzuki, Hiroyuki; Yoshinaga, Tadashi; Ishihara, Hiroki; Kato, Koji; Sawada, Kohei; Onogi, Tatsuhiko; Kobayashi, Kiyoaki; Ohkubo, Miyuki

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 299 pp.

CODEN: PIXXD2

DT Patent

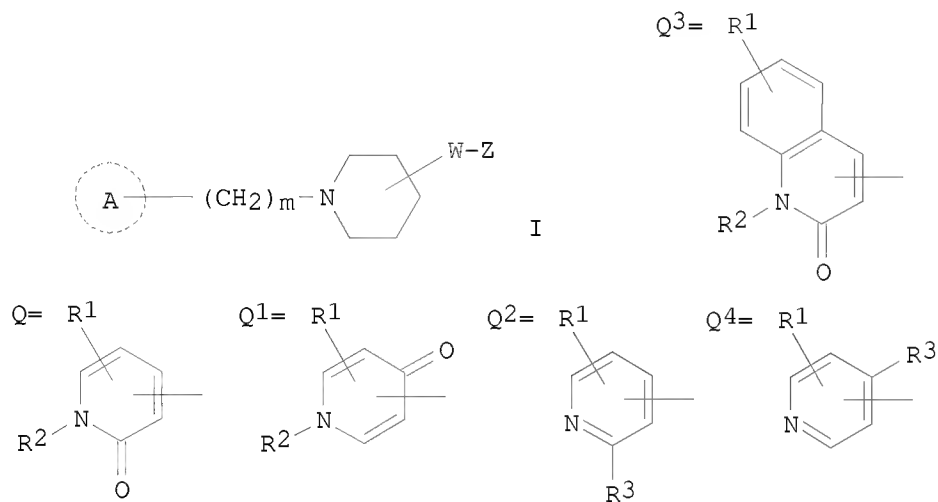
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053288	A1	20010726	WO 2001-JP287	20010118
	W: AU, BR, CA, CN, HU, IL, KR, MX, NO, NZ, RU, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2398388	A1	20010726	CA 2001-2398388	20010118
	AU 2001027058	A	20010731	AU 2001-27058	20010118
	AU 779442	B2	20050127		
	JP 2001270883	A	20011002	JP 2001-9592	20010118
	JP 4282048	B2	20090617		
	EP 1254904	A1	20021106	EP 2001-901412	20010118
	EP 1254904	B1	20060524		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	BR 2001007732	A	20030311	BR 2001-7732	20010118
	HU 2002004496	A2	20030528	HU 2002-4496	20010118

HU 2002004496	A3	20040728		
NZ 520041	A	20041126	NZ 2001-520041	20010118
RU 2259365	C2	20050827	RU 2002-122095	20010118
AT 327230	T	20060615	AT 2001-901412	20010118
ZA 2002005399	A	20030904	ZA 2002-5399	20020705
NO 2002003457	A	20020913	NO 2002-3457	20020718
MX 2002007036	A	20021213	MX 2002-7036	20020718
US 20030220368	A1	20031127	US 2002-181560	20020719
US 6784192	B2	20040831		
PRAI JP 2000-12175	A	20000120		
WO 2001-JP287	W	20010118		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS MARPAT 135:137524
 GI



AB The title compds. [I; ring A = Q, Q1, Q2, Q3, Q4; R1 = H, halo, cyano, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C3-8 cycloalkenyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, C6-14 aromatic hydrocarbyl, or C5-14 aromatic heterocyclyl; R2 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C3-8 cycloalkenyl, amino, C6-14 aromatic hydrocarbyl, or C5-14 aromatic heterocyclyl; R3 = (un)substituted C1-6 alkoxy, C2-6 alkenyloxy, C3-7 cycloalkyloxy, or C3-7 cycloalkenyloxy; W = a single bond, (un)substituted C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, U-V (wherein U = a single bond, O, S, NH, optionally substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; V = a single bond, optionally substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene, O, S, CO, SO, or SO₂; provided that at least one of U and V is a single bond, optionally substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene and both U and V do not represent the same group); Z = (un)substituted C6-14 aromatic hydrocarbyl, C5-14 aromatic heterocyclyl, or NH₂;

m = 0-6] are prepared These compds. are useful for the prevention and treatment of arrhythmia, in particular Vaughan Williams group III arrhythmia, pain, or neuralgia, in particular diabetic neuralgia, HIV neuralgia, herpes zoster neuralgia, trigeminal neuralgia, stump neuralgia, pain after spinal cord injury, thalamic pain, or pain after stroke. Thus, 6.09 g 1-[(2-methoxy-3-pyridyl)methyl]-4-[2-(3-methylsulfonyl-2-thienyl)ethyl]pyridine (preparation given) and 2 mL SOCl₂ were dissolved in 50

mL ethanol and refluxed for 2 h, made alkaline with 1 N aqueous NaOH, and extracted with CH₂Cl₂ to give, after purification using NH-form silica gel column chromatog., 1-[(2-oxo-1,2-dihydro-3-pyridyl)methyl]-4-[2-(3-methylsulfonyl-2-thienyl)ethyl]piperidine (II). II at 1 mg/kg i.v. stopped and prevented atrial fibrillation in dogs.

OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
 RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2000:880964 CAPLUS

DN 134:42063

TI Preparation of N-hydroxy-2-(piperidinosulfonyl)acetamides as matrix metalloproteinase inhibitors

IN Dack, Kevin Neil; Fray, Michael Jonathan; Whitlock, Gavin Alistair; Lewis, Mark Llewellyn; Thomson, Nicholas Murray

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DT Patent

LA English

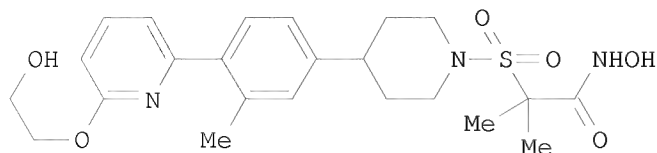
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000074681	A1	20001214	WO 2000-IB667	20000518
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	TW 529952	B	20030501	TW 2000-89109376	20000516
	CA 2375882	A1	20001214	CA 2000-2375882	20000518
	EP 1181017	A1	20020227	EP 2000-927629	20000518
	EP 1181017	B1	20030416		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000011130	A	20020319	BR 2000-11130	20000518
	TR 200103493	T2	20020422	TR 2001-3493	20000518
	HU 2002001633	A2	20020828	HU 2002-1633	20000518
	HU 2002001633	A3	20040301		
	NZ 515458	A	20021220	NZ 2000-515458	20000518
	JP 2003501388	T	20030114	JP 2001-501217	20000518
	EE 200100657	A	20030217	EE 2001-657	20000518
	AT 237329	T	20030515	AT 2000-927629	20000518
	ES 2193076	T3	20031101	ES 2000-927629	20000518
	IN 2000MU00491	A	20050304	IN 2000-MU491	20000529
	US 6511993	B1	20030128	US 2000-586623	20000602
	ZA 2001009890	A	20021202	ZA 2001-9890	20011130
	NO 2001005900	A	20020128	NO 2001-5900	20011203
	MX 2001012450	A	20020604	MX 2001-12450	20011203
	BG 106242	A	20020830	BG 2001-106242	20011219
PRAI	GB 1999-12961	A	19990603		
	US 1999-169578P	P	19991208		
	WO 2000-IB667	W	20000518		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 134:42063

GI



II

AB RZZ1Z2SO2CR1R2CONHOH [I; R = H, (un)substituted alkyl, -alkoxy; R1,R2 = H, (un)substituted alkyl, alkenyl; R1R2 = atoms to complete a (hydroxy-substituted) carbo- or -heterocyclic ring; Z = phenylene or heteroarylene; Z1 = (2-halo-, -methyl-, or -methoxy)-1,4-phenylene; Z2 = piperidine-4,1-diyl or 1,2,3,6-tetrahydropyridine-4,1-diyl] were prepared. Thus, 2-bromo-5-iodotoluene was condensed with 1-Boc-4-piperidinone and the deprotected product N-acylated by MeO2CCH2SO2Cl to give, after α,α -dimethylation, BrZ1Z2SO2CMe2CO2Me (Z1 = 2-methyl-1,4-phenylene, Z2 = 1,2,3,6-tetrahydropyridine-4,1-diyl) which was arylated by Bu3SnZCH2CH2OCH2Ph (Z = pyridine-2,6-diyl) (preparation given) to give, after HCO2NH4/Pd(OH)2 treatment and 2 addnl. steps, title compd II. Data for biol. activity of I were given.

OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1998:498326 CAPLUS

DN 129:148991

OREF 129:30373a,30376a

TI Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors

IN Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhana, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray

PA F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.

SO Ger. Offen., 84 pp.

CODEN: GWXXBX

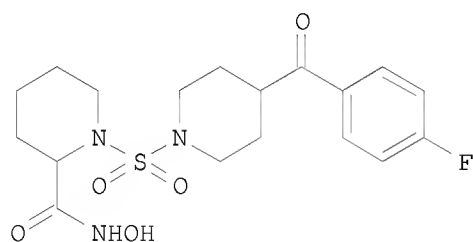
DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19802350	A1	19980730	DE 1998-19802350	19980122
	CA 2278694	A1	19980730	CA 1998-2278694	19980114
	CA 2278694	C	20060926		
	WO 9832748	A1	19980730	WO 1998-EP180	19980114
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

AU 9866140	A	19980818	AU 1998-66140	19980114
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114
EP 958287	B1	20020911		
EP 958287	B2	20080409		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK				
BR 9807508	A	20000321	BR 1998-7508	19980114
NZ 336625	A	20010427	NZ 1998-336625	19980114
HU 2000000941	A2	20010428	HU 2000-941	19980114
HU 2000000941	A3	20020628		
JP 2001523222	T	20011120	JP 1998-531537	19980114
JP 3563411	B2	20040908		
AT 223909	T	20020915	AT 1998-907943	19980114
CN 1093125	C	20021023	CN 1998-803233	19980114
ES 2183331	T3	20030316	ES 1998-907943	19980114
ZA 9800376	A	19980723	ZA 1998-376	19980116
IN 1998MA00105	A	20050304	IN 1998-MA105	19980116
IT 1298163	B1	19991220	IT 1998-MI91	19980120
FR 2758559	A1	19980724	FR 1998-601	19980121
GB 2321641	A	19980805	GB 1998-1393	19980122
GB 2321641	B	20010401		
ES 2136037	A1	19991101	ES 1998-113	19980122
ES 2136037	B1	20001116		
NO 9903587	A	19990922	NO 1999-3587	19990722
NO 313635	B1	20021104		
MX 9906822	A	20000131	MX 1999-6822	19990722
PRAI US 1997-36714P	P	19970123		
US 1997-62209P	P	19971016		
WO 1998-EP180	W	19980114		
OS MARPAT 129:148991				
GI				



II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepared. Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.

OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (47 CITINGS)

L11 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:810424 CAPLUS

DN 123:227998

OREF 123:40723a,40726a

TI Preparation of pyridyloxybutynylamines as intermediates for ulcer

inhibitors

IN Fukumi, Hiroshi; Sugyama, Mitsuo; Kojima, Koichi

PA Sankyo Co, Japan

SO Jpn. Kokai Tokkyo Koho, 17 pp.

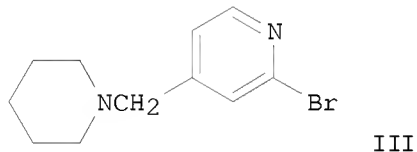
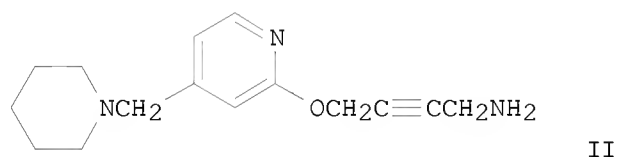
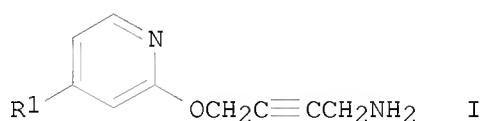
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 07061970	A	19950307	JP 1994-131481	19940614
	JP 3315007	B2	20020819		
PRAI	JP 1994-131481	A	19940614		
	JP 1993-144620		19930616		
OS	MARPAT 123:227998				
GI					



AB The title compds. I [R1 = cyclic aminomethyl, etc.] are claimed. The title compound II was prepared in several steps from pyridine derivative III.

L11 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1994:298478 CAPLUS

DN 120:298478

OREF 120:52601a, 52604a

TI Preparation of aminobutenes as antiulcer intermediates

IN Ikawa, Hiroshi; Matsumoto, Hajime; Matsumoto, Masakatsu; Sekine, Yasuo; Nishimura, Masato; Hosoda, Akihiko

PA Fujirebio Inc., Japan

SO Eur. Pat. Appl., 43 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	EP 582304	A2	19940209	EP 1993-112595	19930805
	EP 582304	A3	19940615		
	EP 582304	B1	19980401		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

JP 06107607	A	19940419	JP 1992-283575	19920930
AT 164574	T	19980415	AT 1993-112595	19930805
US 5616711	A	19970401	US 1993-102819	19930806
KR 9706471	B1	19970428	KR 1993-15244	19930806
JP 06192195	A	19940712	JP 1993-214813	19930809
JP 3202106	B2	20010827		
JP 2001192367	A	20010717	JP 2001-7032	19930809
JP 3408796	B2	20030519		
PRAI JP 1992-231498	A	19920807		
JP 1992-231499	A	19920807		
JP 1992-283575	A	19920930		
JP 1992-321365	A	19921106		
JP 1993-214813	A3	19930809		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 120:298478

AB (Z)-R1OCH2CH:CHCH2X (R1 = H, hydroxy-protective group, aromatic hydrocarbyl, heterocycly, etc.; X = OH, halo, sulfonyloxy, acyloxy, etc.) were condensed with YNR3COR2 (R2 = H, alkyl, alkoxy, aromatic hydrocarbyl, heterocycly, etc.; R3 = H, acyl, alkoxycarbonyl, alkyl, etc.; Y = H, alkali or alkaline earth metal) to give (Z)-R1OCH2CH:CHCH2NR3COR2. Thus, (Z)-4-(4-piperidinomethyl-2-pyridyloxy)-2-butenol was condensed with N-acetyl-2-(furfurylthio)acetamide (preparation each given) to give, after N-deacetylation, (Z)-N-[4-(4-piperidinomethyl-2-pyridyloxy)-2-butenyl]-2-(furfurylthio)acetamide.

L11 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1993:539114 CAPLUS

DN 119:139114

OREF 119:24947a, 24950a

TI Preparation of N-[(pyridyloxy)alkyl]- or N-[(pyridyloxy)alkenyl]-2-(furfurylsulfinyl)acetamides as histamine H2 receptor antagonists.

IN Ishii, Akihisa; Nishimura, Yasunobu; Kondo, Hirotune; Kikuchi, Yoshuki

PA Central Glass Co Ltd, Japan; Fujirebio Kk

SO Jpn. Kokai Tokkyo Koho, 16 pp.

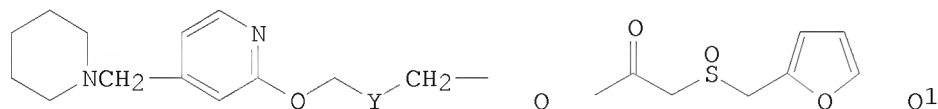
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 05059045	A	19930309	JP 1991-222566	19910903
PRAI	JP 1991-222566		19910903		
OS	CASREACT 119:139114; MARPAT 119:139114				
GI					

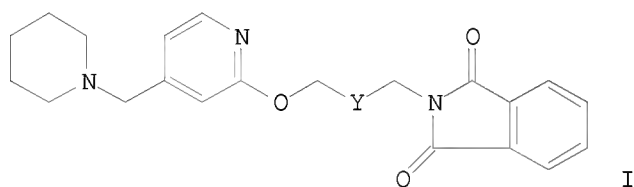


AB The title compds. QNHQ1 [I; Y = CH2-CH2, CH:CH], useful as histamine H2 receptor antagonists (no data), are prepared via condensation of amines QNH2 [II; obtained from hydrazinolysis of QQ2 (Q2 = phthalimido)] with (furfurylsulfinyl)acetic acid esters R-O-Q1 [R = p-nitrophenyl, o-nitrophenyl, 2,4-dinitrophenyl]. Stirring a mixture of II [Y = CH:CH] (preparation given) and p-nitrophenyl (furfurylsulfinyl)acetate in toluene at room temperature for 4 h gave 75.8% I (Y = CH:CH).

L11 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1993:147466 CAPLUS
 DN 118:147466
 OREF 118:25359a,25362a
 TI Preparation of phthalimides as antitumors.
 IN Ishii, Akihiro; Nishimura, Yasunobu; Kondou, Hirotsumi; Kikuchi, Yoshiyuki
 PA Central Glass Co., Ltd., Japan
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9213854	A1	19920820	WO 1992-JP68	19920127
	W: JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	EP 569587	A1	19931118	EP 1992-903737	19920127
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5382589	A	19950117	US 1993-90136	19930721
	KR 125155	B1	19971205	KR 1993-72259	19930730
PRAI	JP 1991-10211	A	19910130		
	WO 1992-JP68	W	19920127		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS CASREACT 118:147466; MARPAT 118:147466
 GI

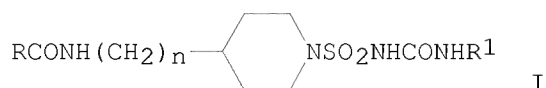


AB The title compds. [I; Y = CH₂CH₂, CH:CH] and their acid addition salts, useful as antitumors (no data), are prepared Substitution reaction of 2-chloro-4-(piperidinomethyl)pyridine with 2-(4-hydroxy-2-butenyloxy)tetrahydro-2H-pyran in THF-DMF containing NaH gave 2-[4-(tetrahydro-2H-pyran-2-yloxy)-2-butenyloxy]-4-(piperidinomethyl)pyridine, which was hydrolyzed and then treated with SOCl₂ in CH₂Cl₂ containing K₂CO₃ to give 2-(4-chloro-2-butenyl)-4-(piperidinomethyl)pyridine, which was heated with phthalimide potassium in the presence of Bu₄NHSO₄ in toluene at 80° for 2 h to give I [Y = CH:CH].

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 1976:144565 CAPLUS
 DN 84:144565
 OREF 84:23417a,23420a
 TI Sulfamylurea hypoglycemic agents. 6. High-potency derivatives
 AU Sarges, Reinhard; Kuhla, Donald E.; Wiedermann, Hans E.; Mayhew, Dale A.
 CS Cent. Res., Pfizer Inc., Groton, CT, USA
 SO Journal of Medicinal Chemistry (1976), 19(5), 695-709
 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal
LA English
OS CASREACT 84:144565
GI



AB Of a series of 105 1-piperidinosulfonylureas (I) prepared and tested for hypoglycemic activity in fasted rats, gliamilide (I; RCO = 2-methoxynicotinoyl, n = 2, R1 = bicyclo[2.2.1]hept-5-en-2-yl-endo-methyl) [51876-98-3] was among the most active compds., was well tolerated in man, and had a short plasma half-life. Compds. with a methylene bridge (I, n = 1) were less potent than those with the ethylene bridge (I, n = 2). Optimal acyl substituents (R) are 5-chloro-2-methoxybenzoyl, substituted nicotinoyl, 2,3-ethylenedioxybenzoyl and substituted quinoline-8-carbonyls. Optimal R1 groups are cyclohexyl, bicycloheptenylmethyl, and in certain cases propyl, 7-oxabicycloheptanymethyl, and adamantyl.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)